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INTERNATIONAL PRELIMINARY EXAMINATION REPORT

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(PCT Article 36 and Rule 70)

Applicant's or agent's file reference 1173-1027FPC	FOR FURTHER ACTION See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416)	
International application No. PCT/US03/28895	International filing date (day/month/year) 15 September 2003 (15.09.2003)	Priority date (day/month/year) 14 September 2002 (14.09.2002)
International Patent Classification (IPC) or national classification and IPC IPC(7): A61K 31/495, 31/496, 31/498; C07D 295/145, 401/12, 403/12, 405/12, 409/12. and US Cl.: 514/249, 252.13. 253.01, 254.11, 255.03; 544/355, 360,376,393.		
Applicant GOV'TR OF THE U.S.A. AS REPRESENTED BY THE SECRETARY		

- This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.
- This REPORT consists of a total of 9 sheets, including this cover sheet.  
☐ This report is also accompanied by ANNEXES, i.e., sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).

These annexes consist of a total of \_\_\_ sheets.

- This report contains indications relating to the following items:

- I ☒ Basis of the report
- II ☐ Priority
- III ☐ Non-establishment of report with regard to novelty, inventive step and industrial applicability
- IV ☐ Lack of unity of invention
- V ☒ Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- VI ☐ Certain documents cited
- VII ☐ Certain defects in the international application
- VIII ☒ Certain observations on the international application

Date of submission of the demand 14 April 2004 (14.04.2004)	Date of completion of this report 04 May 2005 (04.05.2005)
Name and mailing address of the IPEA/US Mail Stop PCT, Attn: IPEA/ US Commissioner for Patents P.O. Box 1450 Alexandria, Virginia 22313-1450 Facsimile No. (703) 305-3230	Authorized officer Emily Bernhardt Telephone No. (571) 272-1600

Form PCT/IPEA/409 (cover sheet)(July 1998)

## INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No.

PCT/US03/28895

## I. Basis of the report

## 1. With regard to the elements of the international application:\*

- ☐ the international application as originally filed.
- ☒ the description:  
pages 1-27 as originally filed  
pages NONE, filed with the demand  
pages NONE, filed with the letter of \_\_\_\_\_.
- ☒ the claims:  
pages 28-31 as originally filed  
pages NONE, as amended (together with any statement) under Article 19  
pages NONE, filed with the demand  
pages NONE, filed with the letter of \_\_\_\_\_.
- ☒ the drawings:  
pages 1/1 as originally filed  
pages NONE, filed with the demand  
pages NONE, filed with the letter of \_\_\_\_\_.
- ☐ the sequence listing part of the description:  
pages NONE as originally filed  
pages NONE, filed with the demand  
pages NONE, filed with the letter of \_\_\_\_\_.

## 2. With regard to the language, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language \_\_\_\_\_ which is:

- ☐ the language of a translation furnished for the purposes of international search (under Rule 23.1(b)).
- ☐ the language of publication of the international application (under Rule 48.3(b)).
- ☐ the language of the translation furnished for the purposes of international preliminary examination (under Rules 55.2 and/or 55.3).

## 3. With regard to any nucleotide and/or amino acid sequence disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing

- ☐ contained in the international application in printed form.
- ☐ filed together with the international application in computer readable form.
- ☐ furnished subsequently to this Authority in written form.
- ☐ furnished subsequently to this Authority in computer readable form.
- ☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
- ☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. ☐ The amendments have resulted in the cancellation of:

- ☐ the description, pages NONE
- ☐ the claims, Nos. NONE
- ☐ the drawings, sheets/fig NONE

5. ☒ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).\*\*

\* Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17).

\*\* Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.

## INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No.  
PCT/US03/28895**V. Reasoned statement under Rule 66.2(a)(ii) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement****1. STATEMENT**

Novelty (N)	Claims <u>5, 7-10</u>	YES
	Claims <u>1-4 and 6</u>	NO
Inventive Step (IS)	Claims <u>5, 7-10</u>	YES
	Claims <u>1-4 and 6</u>	NO
Industrial Applicability (IA)	Claims <u>1-10</u>	YES
	Claims <u>NONE</u>	NO

**2. CITATIONS AND EXPLANATIONS**

Claims 1-4 and 6 lack novelty and thus an inventive step under PCT Articles 33(2)-(3) as being anticipated and thus rendered obvious by Hrib (US923). Hrib describes compounds within the instant scope for use as antipsychotics. See especially examples 18-20 in columns 17 and 18.

Claims 5 and 7-10 meet the criteria set out in PCT Article 33(2)-(3), because the prior art does not teach or fairly suggest phenyl as instant R1 variable in claim 5 or the uses embraced by claims 7-10.

Claims 1-10 meet the criteria set out in PCT Article 33(4), and thus possess industrial applicability because the subject matter claimed can be made or used in industry. Unlabelled compounds are taught for treating cocaine abuse and labelled compounds are taught for use as imaging probes for detecting neurodegenerative disorders.

----- NEW CITATIONS -----  
NONE

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**VIII. Certain observations on the international application**

The following observations on the clarity of the claims, description, and drawings or on the questions whether the claims are fully supported by the description, are made:

Claim 6 is objected to under PCT Rule 66.2(a)(v) as lacking clarity under PCT Article 6 because the claim is indefinite for the following reason(s): The proviso, "with the exception that R1 is not triazole or triadiazole" appearing after R1 is an optionally substituted phenyl group is confusing since phenyl as R1 does not include any other rings. The proviso should appear at the end of the claim following R1 as a "heteroaromatic ring".

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**Supplemental Box**  
(To be used when the space in any of the preceding boxes is not sufficient)

**Continuation of Section I. Basis of the report, Item 5**

While applicant's response to the 408 filed 07 January 2005 includes an amendment, said amendment has not been entered since the scope of R1 has been broadened beyond the scope of the original disclosure which was limited to R1 as phenyl with optional substituents or R1 as a heteroaromatic ring with no substituents generically defined for said rings nor is there a description of the new generic concept permitting all such "aromatic substituents" to be linked covalently or to a common group.